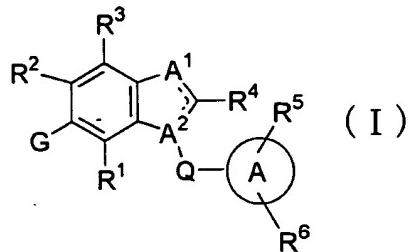


CLAIMS

1. A fused heterocyclic derivative represented by the following general formula (I):



5

wherein

R¹ to R⁴ independently represent a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a cyano group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a carbamoyl group, a mono or di(C₁₋₆ alkyl)amino group, a halo(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group, a cyano(C₁₋₆ alkyl) group, a carboxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a carbamoyl(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkyl) group, a mono or di(C₁₋₆ alkyl)amino(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkoxy) group, a carboxy(C₁₋₆ alkoxy) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkoxy) group, a carbamoyl(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy) group, a C₃₋₇ cycloalkyl group, a C₃₋₇ cycloalkyloxy group, a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group, or C₃₋₇ cycloalkyl(C₁₋₆ alkoxy) group;

R⁵ and R⁶ independently represent a hydrogen atom, a hydroxy

group, a halogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ alkynyl group, a C₁₋₆ alkoxy group, a C₂₋₆ alkenyloxy group, a C₁₋₆ alkylthio group, a C₂₋₆ alkenylthio group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a halo(C₁₋₆ alkylthio) group, a hydroxy(C₁₋₆ alkyl) group, a hydroxy(C₂₋₆ alkenyl) group, a hydroxy(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkylthio) group, a carboxy group, a carboxy(C₁₋₆ alkyl) group, a carboxy(C₂₋₆ alkenyl) group, a carboxy(C₁₋₆ alkoxy) group, a carboxy(C₁₋₆ alkylthio) group, a C₂₋₇ alkoxycarbonyl group, a C₂₋₇ 10 alkoxycarbonyl(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₂₋₆ alkenyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkoxy) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkylthio) group, a C₁₋₆ alkylsulfinyl group, a C₁₋₆ alkylsulfonyl group, -U-V-W-N(R⁷)-Z or any of the following substituents (i) to (xxviii) which may have any 1 to 3 groups 15 selected from the following substituent group α on the ring;

- (i) a C₆₋₁₀ aryl group, (ii) C₆₋₁₀ aryl-O-, (iii) C₆₋₁₀ aryl-S-, (iv) a C₆₋₁₀ aryl(C₁₋₆ alkyl) group, (v) a C₆₋₁₀ aryl(C₁₋₆ alkoxy) group, (vi) a C₆₋₁₀ aryl(C₁₋₆ alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-, (x) a heteroaryl(C₁₋₆ alkyl) group, (xi) a heteroaryl(C₁₋₆ alkoxy) group, (xii) a heteroaryl(C₁₋₆ alkylthio) group, (xiii) a C₃₋₇ cycloalkyl group, (xiv) C₃₋₇ cycloalkyl-O-, (xv) C₃₋₇ cycloalkyl-S-, (xvi) a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group, (xvii) a C₃₋₇ cycloalkyl(C₁₋₆ alkoxy) group, (xviii) a C₃₋₇ cycloalkyl(C₁₋₆ alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, (xxii) a heterocycloalkyl(C₁₋₆ alkyl) group, (xxiii) a

heterocycloalkyl(C₁₋₆ alkoxy) group, (xxiv) a heterocycloalkyl(C₁₋₆ alkylthio) group, (xxv) an aromatic cyclic amino group, (xxvi) an aromatic cyclic amino(C₁₋₆ alkyl) group, (xxvii) an aromatic cyclic amino(C₁₋₆ alkoxy) group, or

5 (xxviii) an aromatic cyclic amino(C₁₋₆ alkylthio) group,

U represents -O-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond when U is -O- or -S-);

V represents a C₁₋₆ alkylene group which may have a hydroxy group, a C₂₋₆ alkenylene group or a single bond;

W represents -CO-, -SO₂-, -C(=NH)- or a single bond;

Z represents a hydrogen atom, a C₂₋₇ alkoxy carbonyl group, a C₆₋₁₀ aryl(C₂₋₇ alkoxy carbonyl) group, a formyl group, -R^A, -COR^B, -SO₂R^B, -CON(R^C)R^D, -CSN(R^C)R^D, -SO₂NHR^A or

15 -C(=NR^E)N(R^F)R^G;

R⁷, R^A, R^C and R^D independently represent a hydrogen atom, a C₁₋₆ alkyl group which may have any 1 to 5 groups selected from the following substituent group β , or any of the following substituents (xxix) to (xxxii) which may have any 1 to 3 groups

20 selected from the following substituent group α ;

(xxix) a C₆₋₁₀ aryl group, (xxx) a heteroaryl group, (xxxxi) a C₃₋₇ cycloalkyl group or (xxxii) a heterocycloalkyl group or Z and R⁷ bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any

25 1 to 3 groups selected from the following substituent group α ; or R^C and R^D bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any

1 to 3 groups selected from the following substituent group α ;

R^B represents a C₂₋₇ alkoxy carbonyl group, a C₁₋₆ alkylsulfonylamino group, a C₆₋₁₀ arylsulfonylamino group, a C₁₋₆ alkyl group which may have any 1 to 5 groups selected from
5 the following substituent group β or any of the following substituents (xxxiii) to (xxxvi) which may have any 1 to 3 groups selected from the following substituent group α ;

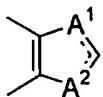
(xxxiii) a C₆₋₁₀ aryl group, (xxxiv) a heteroaryl group,
(xxxv) a C₃₋₇ cycloalkyl group or (xxxvi) a heterocycloalkyl
10 group,

R^E , R^F and R^G independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C₂₋₇ acyl group, a C₂₋₇ alkoxy carbonyl group, a C₆₋₁₀ aryl(C₂₋₇ alkoxy carbonyl) group, a nitro group, a C₁₋₆ alkylsulfonyl group, a sulfamide group,
15 a carbamimidoyl group, or a C₁₋₆ alkyl group which may have any 1 to 5 groups selected from the following substituent group β ;
or both of R^E and R^F bind together to form an ethylene group;

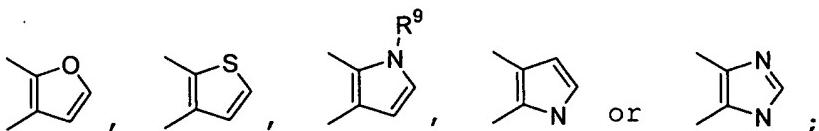
or both of R^F and R^G bind together with the neighboring
20 nitrogen atom to form an aliphatic cyclic amino group which may have any substituent selected from the following substituent group α ;

Q represents -C₁₋₆ alkylene-, -C₂₋₆ alkenylene-, -C₂₋₆ alkynylene-, -C₁₋₆ alkylene-O-, -C₁₋₆ alkylene-S-, -O-C₁₋₆ alkylene-, -S-C₁₋₆ alkylene-, -C₁₋₆ alkylene-O-C₁₋₆ alkylene-,
25 -C₁₋₆ alkylene-S-C₁₋₆ alkylene-, -CON(R⁸)-, -N(R⁸)CO-, -C₁₋₆ alkylene-CON(R⁸)- or -CON(R⁸)-C₁₋₆ alkylene-;

R^8 represents a hydrogen atom or a C₁₋₆ alkyl group;
 ring A represents a C₆₋₁₀ aryl group or a heteroaryl group;
 ring:

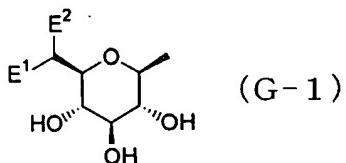


5 represents

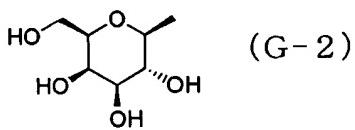


R^9 represents a hydrogen atom, a C₁₋₆ alkyl group,
 a hydroxy(C₁₋₆ alkyl) group, a C₃₋₇ cycloalkyl group or
 a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group;

10 G represents a group represented by a formula:



or a formula:



15 E^1 represents a hydrogen atom, a fluorine atom or
 a hydroxy group;

E^2 represents a hydrogen atom, a fluorine atom, a
 methyl group or a hydroxymethyl group;
 [substituent group α]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl

group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino(C₁₋₆ alkyl) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a sulfamoyl group and -CON(R^H)R^I

10 [substituent group β] a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a halo(C₁₋₆ alkoxy) group, a halo(C₁₋₆ alkylthio) group, a hydroxy(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkylthio) group, an amino(C₁₋₆ alkoxy) group, an 15 amino(C₁₋₆ alkylthio) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di[hydroxy(C₁₋₆ alkyl)]ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a mono or di[hydroxy(C₁₋₆ alkyl)]- 20 sulfamide group, a C₂₋₇ acylamino group, an amino(C₂₋₇ acylamino) group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a carbamoyl(C₁₋₆ alkylsulfonylamino) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, -CON(R^H)R^I, and any of the 25 following substituents (xxxvii) to (xxxxviii) which may have any 1 to 3 groups selected from the above substituent group α on the ring;

(xxxvii) a C₆₋₁₀ aryl group, (xxxviii) C₆₋₁₀ aryl-O-,

- (xxxxix) a C₆-10 aryl(C₁-6 alkoxy) group, (xxxx) a C₆-10 aryl(C₁-6 alkylthio) group, (xxxxxi) a heteroaryl group, (xxxxxii) heteroaryl-O-, (xxxxxiii) a C₃-7 cycloalkyl group, (xxxxxiv) C₃-7 cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) 5 heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group
- R^H and R^I independently represent a hydrogen atom or a C₁-6 alkyl group which may have any 1 to 3 groups selected from the following substituent group γ ;
- 10 or both of R^H and R^I bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 groups selected from the following substituent group δ ;
- [substituent group γ]
- 15 a halogen atom, a hydroxy group, an amino group, a C₁-6 alkoxy group, a halo(C₁-6 alkoxy) group, a hydroxy(C₁-6 alkoxy) group, an amino(C₁-6 alkoxy) group, a mono or di(C₁-6 alkyl)amino group, a mono or di[hydroxy(C₁-6 alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁-6 alkyl)ureido group, 20 a mono or di[hydroxy(C₁-6 alkyl)]ureido group, a mono or di(C₁-6 alkyl)sulfamide group, a mono or di[hydroxy(C₁-6 alkyl)]-sulfamide group, a C₂-7 acylamino group, an amino(C₂-7 acylamino) group, a C₁-6 alkylsulfonyl group, a C₁-6 alkylsulfonylamino group, a carbamoyl(C₁-6 alkylsulfonylamino) group, a carboxy group, a C₂-7 alkoxycarbonyl group, a sulfamoyl group and 25 -CON(R^J)R^K
- [substituent group δ]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group,
 5 an amino(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino(C₁₋₆ alkyl) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a sulfamoyl
 10 group and -CON(R^J)R^K

R^J and R^K independently represent a hydrogen atom or a C₁₋₆ alkyl group which may have any 1 to 3 groups selected from a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a C₂₋₇ alkoxycarbonyl group and a carbamoyl group;
 15 or both of R^J and R^K bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 groups selected from a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a C₁₋₆ alkyl group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group and a carbamoyl group,
 20 or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

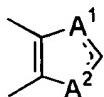
2. A fused heterocyclic derivative as claimed in claim 1,
 25 wherein Q represents a methylene group, an ethylene group, -OCH₂-, -CH₂O-, -SCH₂- or -CH₂S-, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

3. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

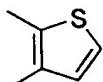
5

4. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents a methylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10 5. A fused heterocyclic derivative as claimed in any one of claims 1 to 4, wherein the ring:

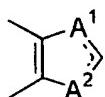


represents



15 , or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

6. A fused heterocyclic derivative as claimed in any one of claims 1 to 4, wherein the ring:



20

represents



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

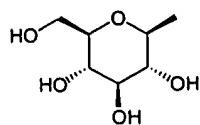
5 7. A fused heterocyclic derivative as claimed in claim 1,
 wherein R⁵ and R⁶ independently represent a hydrogen atom, a
 hydroxy group, a halogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl
 group, a C₂₋₆ alkynyl group, a C₁₋₆ alkoxy group, a C₂₋₆ alkenyloxy
 group, a C₁₋₆ alkylthio group, a C₂₋₆ alkenylthio group, a
 10 halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a halo(C₁₋₆
 alkylthio) group, a hydroxy(C₁₋₆ alkyl) group, a hydroxy(C₂₋₆
 alkenyl) group, a hydroxy(C₁₋₆ alkoxy) group or a hydroxy(C₁₋₆
 alkylthio) group, or a pharmaceutically acceptable salt thereof,
 or a prodrug thereof.

15

8. A fused heterocyclic derivative as claimed in any one of
 claims 1, 5, 6 and 7, wherein the ring A represents a benzene
 ring or a pyridine ring, or a pharmaceutically acceptable salt
 thereof, or a prodrug thereof.

20

9. A fused heterocyclic derivative as claimed in any one of
 claims 1 to 8, wherein G represents a group represented by the
 formula:



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10. A pharmaceutical composition comprising as an active
5 ingredient a fused heterocyclic derivative as claimed in any
one of claims 1 to 9, or a pharmaceutically acceptable salt thereof,
or a prodrug thereof.

11. A human SGLT inhibitor comprising as an active ingredient
10 a fused heterocyclic derivative as claimed in any one of claims
1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug
thereof.

12. A human SGLT inhibitor as claimed in claim 11, wherein
15 the SGLT is SGLT1 and/or SGLT2.

13. A human SGLT inhibitor as claimed in claim 11, which is
an agent for the inhibition of postprandial hyperglycemia.

20 14. A human SGLT inhibitor as claimed in claim 11, which is
an agent for the prevention or treatment of a disease associated
with hyperglycemia.

25 15. A human SGLT inhibitor as claimed in claim 14, wherein
the disease associated with hyperglycemia is a disease selected
from the group consisting of diabetes, impaired glucose tolerance,
diabetic complications, obesity, hyperinsulinemia,

hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

5 16. A human SGLT inhibitor as claimed in claim 11, which is
an agent for the inhibition of advancing impaired glucose
tolerance into diabetes in a subject.

10 17. A pharmaceutical composition as claimed in claim 10,
wherein the dosage form is sustained release formulation.

18. A human SGLT inhibitor as claimed in claim 11, wherein
the dosage form is sustained release formulation.

15 19. A method for the inhibition of postprandial hyperglycemia,
which comprises administering an effective amount of a fused
heterocyclic derivative as claimed in any one of claims 1 to
9, or a pharmaceutically acceptable salt thereof, or a prodrug
thereof.

20

20. A method for the prevention or treatment of a disease
associated with hyperglycemia, which comprises administering
an effective amount of a fused heterocyclic derivative as claimed
in any one of claims 1 to 9, or a pharmaceutically acceptable
salt thereof, or a prodrug thereof.

25 21. A method for the prevention or treatment as claimed in

- claim 20, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia,
5 hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.
22. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
10
23. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.
15
24. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of
20 a disease associated with hyperglycemia.
25. A use as claimed in claim 24, wherein the disease associated

with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

26. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

27. A pharmaceutical composition as claimed in claim 10, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting

antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

- 5 28. A human SGLT inhibitor as claimed in claim 11, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue,
- 10 a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase
- 15 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase
- 20 inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor,
- 25 insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,

5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

29. A method for the inhibition of postprandial hyperglycemia as claimed in claim 19, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer,

a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a
5 glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue,
10 a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid
15 peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,
20 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption
25 inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase

- inhibitor, a low-density lipoprotein receptor enhancer, a
nicotinic acid derivative, a bile acid sequestrant, a sodium/bile
acid cotransporter inhibitor, a cholesterol ester transfer
protein inhibitor, an appetite suppressant, an
5 angiotensin-converting enzyme inhibitor, a neutral
endopeptidase inhibitor, an angiotensin II receptor antagonist,
an endothelin-converting enzyme inhibitor, an endothelin
receptor antagonist, a diuretic agent, a calcium antagonist,
a vasodilating antihypertensive agent, a sympathetic blocking
10 agent, a centrally acting antihypertensive agent, an
 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid
synthesis inhibitor, a uricosuric agent and a urinary
alkalinizer.
- 15 30. A method for the prevention or treatment of a disease
associated with hyperglycemia as claimed in claim 20, which
comprises administering in combination with at least one member
selected from the group consisting of an insulin sensitivity
enhancer, a glucose absorption inhibitor, a biguanide, an insulin
20 secretion enhancer, a SGLT2 inhibitor, an insulin or insulin
analogue, a glucagon receptor antagonist, an insulin receptor
kinase stimulant, a tripeptidyl peptidase II inhibitor, a
dipeptidyl peptidase IV inhibitor, a protein tyrosine
phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,
25 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase
inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic
gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase

kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation
5 inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor,
10 a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor
15 agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase
20 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme
25 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent,

a calcium antagonist, a vasodilating antihypertensive agent,
a sympathetic blocking agent, a centrally acting
antihypertensive agent, an α_2 -adrenoceptor agonist, an
antiplatelets agent, a uric acid synthesis inhibitor, a
5 uricosuric agent and a urinary alkalinizer.

31. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject as claimed in claim 21, which comprises administering in combination with at least one
10 member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase
15 II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol,
20 a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a
25 γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-

dipeptidase inhibitor, insulin-like growth factor-I,
platelet-derived growth factor, a platelet-derived growth
factor analogue, epidermal growth factor, nerve growth factor,
a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,
5 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,
cathartics, a hydroxymethylglutaryl coenzyme A reductase
inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an
acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,
a thyroid hormone receptor agonist, a cholesterol absorption
10 inhibitor, a lipase inhibitor, a microsomal triglyceride
transfer protein inhibitor, a lipoxygenase inhibitor, a
carnitine palmitoyl-transferase inhibitor, a squalene synthase
inhibitor, a low-density lipoprotein receptor enhancer, a
nicotinic acid derivative, a bile acid sequestrant, a sodium/bile
15 acid cotransporter inhibitor, a cholesterol ester transfer
protein inhibitor, an appetite suppressant, an
angiotensin-converting enzyme inhibitor, a neutral
endopeptidase inhibitor, an angiotensin II receptor antagonist,
an endothelin-converting enzyme inhibitor, an endothelin
20 receptor antagonist, a diuretic agent, a calcium antagonist,
a vasodilating antihypertensive agent, a sympathetic blocking
agent, a centrally acting antihypertensive agent, an
 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid
synthesis inhibitor, a uricosuric agent and a urinary
25 alkalinizer.

32. A use of (A) a fused heterocyclic derivative as claimed

in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,

5 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

10 an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an

15 N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,

20 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase

25

inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase
5 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme
10 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting
15 antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

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33. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity
25 enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor

kinase stimulant, a tripeptidyl peptidase II inhibitor, a
dipeptidyl peptidase IV inhibitor, a protein tyrosine
phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,
a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase
5 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic
gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase
kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like
peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,
an amylin analogue, an amylin agonist, an aldose reductase
10 inhibitor, an advanced glycation endproducts formation
inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid
receptor antagonist, a sodium channel antagonist, a transcript
factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an
N-acetylated- α -linked-acid-dipeptidase inhibitor,
15 insulin-like growth factor-I, platelet-derived growth factor,
a platelet-derived growth factor analogue, epidermal growth
factor, nerve growth factor, a carnitine derivative, uridine,
5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,
Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl
20 coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor
agonist, an acyl-coenzyme A cholesterol acyltransferase
inhibitor, probcol, a thyroid hormone receptor agonist, a
cholesterol absorption inhibitor, a lipase inhibitor, a
microsomal triglyceride transfer protein inhibitor, a
25 lipoxygenase inhibitor, a carnitine palmitoyl-transferase
inhibitor, a squalene synthase inhibitor, a low-density
lipoprotein receptor enhancer, a nicotinic acid derivative, a

bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

15 34. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase

kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation

5 inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor,

10 a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor

15 agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase

20 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme

25 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent,

a calcium antagonist, a vasodilating antihypertensive agent,
a sympathetic blocking agent, a centrally acting
antihypertensive agent, an α_2 -adrenoceptor agonist, an
antiplatelets agent, a uric acid synthesis inhibitor, a
5 uricosuric agent and a urinary alkalinizer, for the manufacture
of a pharmaceutical composition for the inhibition of advancing
impaired glucose tolerance into diabetes in a subject.